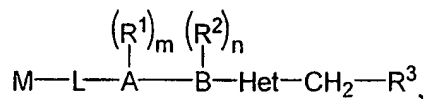


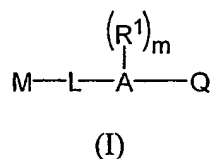
WHAT IS CLAIMED IS:

1. A process for preparing a compound having the formula:

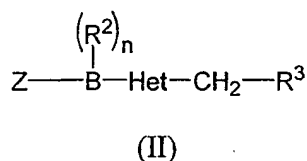


- the process comprising the steps of:

- combining a compound of formula (I):



- with a compound of formula (II):



- in a solvent in the presence of a base and a palladium catalyst, wherein

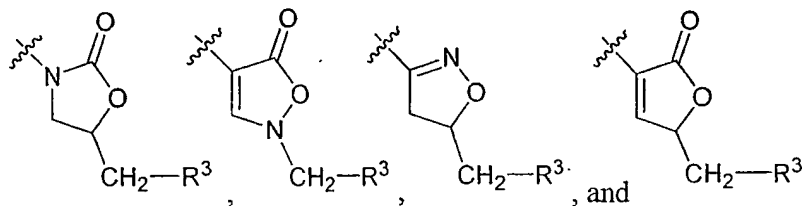
- A is selected from the group consisting of:

- phenyl, pyridyl, pyrazinyl, pyrimidinyl, and pyridazinyl;

- B is selected from the group consisting of:

- phenyl, pyridyl, pyrazinyl, pyrimidinyl, and pyridazinyl;

- Het-CH₂-R³ is selected from the group consisting of:

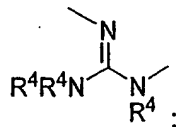


- M-L is selected from the group consisting of:

- a) M-X, b) M-L¹, c) M-L¹-X, d) M-X-L², e) M-L¹-X-L², f) M-X-L¹-X-L²,
 g) M-L¹-X-L²-X, h) M-X-X-, i) M-L¹-X-X-, j) M-X-X-L², and
 k) M-L¹-X-X-L², wherein

X, at each occurrence, independently is selected from the group consisting of:

- a) -O-, b) -NR⁴-, c) -N(O)-, d) -N(OR⁴)-, e) -S(O)_p-, f) -SO₂NR⁴-,
 g) -NR⁴SO₂-, h) -NR⁴-N=, i) =N-NR⁴-, j) -O-N=, k) =N-O-,
 l) -N=, m) =N-, n) -NR⁴-NR⁴-, o) -NR⁴C(O)O-, p) -OC(O)NR⁴-,
 q) -NR⁴C(O)NR⁴-, r) -NR⁴C(NR⁴)NR⁴-, and
 s)



L¹ is selected from the group consisting of:

- a) C₁₋₆ alkyl, b) C₂₋₆ alkenyl, and c) C₂₋₆ alkynyl,
 wherein any of a) – c) optionally is substituted with one or
 more R⁵ groups; and

L² is selected from the group consisting of:

- a) C₁₋₆ alkyl, b) C₂₋₆ alkenyl, and c) C₂₋₆ alkynyl,
 wherein any of a) – c) optionally is substituted with one or
 more R⁵ groups;

alternatively, L in M-L is a bond;

M is selected from the group consisting of:

- a) C₃₋₁₄ saturated, unsaturated, or aromatic carbocycle, b) 3-14 membered saturated,
 unsaturated, or aromatic heterocycle containing one or more heteroatoms selected
 from the group consisting of nitrogen, oxygen, and sulfur, c) C₁₋₆ alkyl, d) C₂₋₆
 alkenyl, e) C₂₋₆ alkynyl, and f) -CN,

wherein any of a) – e) optionally is substituted with one or more R⁵
 groups;

Q is a borane having the formula -BY₂, wherein

Y, at each occurrence, independently is selected from the group consisting of:

- a) -OH, b) -OC₁₋₆ alkyl, c) -OC₂₋₆ alkenyl, d) -OC₂₋₆ alkynyl,
 e) -OC₁₋₁₄ saturated, unsaturated, or aromatic carbocycle, f) C₁₋₆ alkyl, g) C₂₋

49 $_6$ alkenyl, h) C_{2-6} alkynyl, and i) C_{1-14} saturated, unsaturated, or aromatic
50 carbocycle,

51 wherein any of b) – i) optionally is substituted with one or more
52 halogens;

53 alternatively, two Y groups taken together comprise a chemical moiety selected
54 from the group consisting of:

55 a) $-OC(R^4)(R^4)C(R^4)(R^4)O-$, and b) $-OC(R^4)(R^4)CH_2C(R^4)(R^4)O-$;

56 alternatively, Q is a BF_3 alkali metal salt or 9-borabicyclo[3.3.1]nonane;

57 Z is selected from the group consisting of:

58 a) I, b) Br, c) Cl, and d) R^9OSO_3- ;

59 R^1 , at each occurrence, independently is selected from the group consisting of:

60 a) F, b) Cl, c) Br, d) I, e) $-CF_3$, f) $-OR^4$, g) $-CN$, h) $-NO_2$, i) $-NR^4R^4$, j) $-C(O)R^4$,
61 k) $-C(O)OR^4$, l) $-OC(O)R^4$, m) $-C(O)NR^4R^4$, n) $-NR^4C(O)R^4$, o) $-OC(O)NR^4R^4$,
62 p) $-NR^4C(O)OR^4$, q) $-NR^4C(O)NR^4R^4$, r) $-C(S)R^4$, s) $-C(S)OR^4$, t) $-OC(S)R^4$,
63 u) $-C(S)NR^4R^4$, v) $-NR^4C(S)R^4$, w) $-OC(S)NR^4R^4$, x) $-NR^4C(S)OR^4$,
64 y) $-NR^4C(S)NR^4R^4$, z) $-C(NR^4)R^4$, aa) $-C(NR^4)OR^4$, bb) $-OC(NR^4)R^4$,
65 cc) $-C(NR^4)NR^4R^4$, dd) $-NR^4C(NR^4)R^4$, ee) $-OC(NR^4)NR^4R^4$,
66 ff) $-NR^4C(NR^4)OR^4$, gg) $-NR^4C(NR^4)NR^4R^4$, hh) $-S(O)_pR^4$, ii) $-SO_2NR^4R^4$, and
67 jj) R^4 ;

68 R^2 , at each occurrence, independently is selected from the group consisting of:

69 a) F, b) Cl, c) Br, d) I, e) $-CF_3$, f) $-OR^4$, g) $-CN$, h) $-NO_2$, i) $-NR^4R^4$, j) $-C(O)R^4$,
70 k) $-C(O)OR^4$, l) $-OC(O)R^4$, m) $-C(O)NR^4R^4$, n) $-NR^4C(O)R^4$, o) $-OC(O)NR^4R^4$,
71 p) $-NR^4C(O)OR^4$, q) $-NR^4C(O)NR^4R^4$, r) $-C(S)R^4$, s) $-C(S)OR^4$, t) $-OC(S)R^4$,
72 u) $-C(S)NR^4R^4$, v) $-NR^4C(S)R^4$, w) $-OC(S)NR^4R^4$, x) $-NR^4C(S)OR^4$,
73 y) $-NR^4C(S)NR^4R^4$, z) $-C(NR^4)R^4$, aa) $-C(NR^4)OR^4$, bb) $-OC(NR^4)R^4$,
74 cc) $-C(NR^4)NR^4R^4$, dd) $-NR^4C(NR^4)R^4$, ee) $-OC(NR^4)NR^4R^4$,
75 ff) $-NR^4C(NR^4)OR^4$, gg) $-NR^4C(NR^4)NR^4R^4$, hh) $-S(O)_pR^4$, ii) $-SO_2NR^4R^4$, and
76 jj) R^4 ;

77 R^3 is selected from the group consisting of:

78 a) $-OR^4$, b) $-NR^4R^4$, c) $-C(O)R^4$, d) $-C(O)OR^4$, e) $-OC(O)R^4$, f) $-C(O)NR^4R^4$,
79 g) $-NR^4C(O)R^4$, h) $-OC(O)NR^4R^4$, i) $-NR^4C(O)OR^4$, j) $-NR^4C(O)NR^4R^4$,

80 k) -C(S)R⁴, l) -C(S)OR⁴, m) -OC(S)R⁴, n) -C(S)NR⁴R⁴, o) -NR⁴C(S)R⁴,
 81 p) -OC(S)NR⁴R⁴, q) -NR⁴C(S)OR⁴, r) -NR⁴C(S)NR⁴R⁴, s) -C(NR⁴)R⁴,
 82 t) -C(NR⁴)OR⁴, u) -OC(NR⁴)R⁴, v) -C(NR⁴)NR⁴R⁴, w) -NR⁴C(NR⁴)R⁴,
 83 x) -OC(NR⁴)NR⁴R⁴, y) -NR⁴C(NR⁴)OR⁴, z) -NR⁴C(NR⁴)NR⁴R⁴, aa) -S(O)_pR⁴,
 84 bb) -SO₂NR⁴R⁴, and cc) R⁴;

85 R⁴, at each occurrence, independently is selected from the group consisting of:
 86 a) H, b) -OR⁶, c) an amine protecting group, d) C₁₋₆ alkyl, e) C₂₋₆ alkenyl,
 87 f) C₂₋₆ alkynyl, g) C₃₋₁₄ saturated, unsaturated, or aromatic carbocycle,
 88 h) 3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one
 89 or more heteroatoms selected from the group consisting of nitrogen, oxygen, and
 90 sulfur, i) -C(O)-C₁₋₆ alkyl, j) -C(O)-C₂₋₆ alkenyl, k) -C(O)-C₂₋₆ alkynyl,
 91 l) -C(O)-C₃₋₁₄ saturated, unsaturated, or aromatic carbocycle,
 92 m) -C(O)-3-14 membered saturated, unsaturated, or aromatic heterocycle
 93 comprising one or more heteroatoms selected from the group consisting of nitrogen,
 94 oxygen, and sulfur, n) -C(O)O-C₁₋₆ alkyl, o) -C(O)O-C₂₋₆ alkenyl, p) -C(O)O-
 95 C₂₋₆ alkynyl, q) -C(O)O-C₃₋₁₄ saturated, unsaturated, or aromatic carbocycle, and
 96 r) -C(O)O-3-14 membered saturated, unsaturated, or aromatic heterocycle
 97 comprising one or more heteroatoms selected from the group consisting of nitrogen,
 98 oxygen, and sulfur,

99 wherein any of d) - r) optionally is substituted with one or more R⁵ groups;

100 R⁵, at each occurrence, is independently selected from the group consisting of:
 101 a) F, b) Cl, c) Br, d) I, e) =O, f) =S, g) =NR⁶, h) =NOR⁶, i) =N-NR⁶R⁶, j) -
 102 OR⁶, l) -CN, m) -NO₂, n) -NR⁶R⁶, o) -C(O)R⁶, p) -C(O)OR⁶, q) -OC(O)R⁶,
 103 r) -C(O)NR⁶R⁶, s) -NR⁶C(O)R⁶, t) -OC(O)NR⁶R⁶, u) -NR⁶C(O)OR⁶,
 104 v) -NR⁶C(O)NR⁶R⁶, w) -C(S)R⁶, x) -C(S)OR⁶, y) -OC(S)R⁶, z) -C(S)NR⁶R⁶,
 105 aa) -NR⁶C(S)R⁶, bb) -OC(S)NR⁶R⁶, cc) -NR⁶C(S)OR⁶, dd) -NR⁶C(S)NR⁶R⁶,
 106 ee) -C(NR⁶)R⁶, ff) -C(NR⁶)OR⁶, gg) -OC(NR⁶)R⁶, hh) -C(NR⁶)NR⁶R⁶,
 107 ii) -NR⁶C(NR⁶)R⁶, jj) -OC(NR⁶)NR⁶R⁶, kk) -NR⁶C(NR⁶)OR⁶,
 108 ll) -NR⁶C(NR⁶)NR⁶R⁶, mm) -S(O)_pR⁶, nn) -SO₂NR⁶R⁶, and oo) R⁶;

109 R⁶, at each occurrence, independently is selected from the group consisting of:
 110 a) H, b) -OR⁸, c) an amine protecting group, d) C₁₋₆ alkyl, e) C₂₋₆ alkenyl,
 111 f) C₂₋₆ alkynyl, g) C₃₋₁₄ saturated, unsaturated, or aromatic carbocycle,

h) 3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur, i) -C(O)-C₁₋₆ alkyl, j) -C(O)-C₂₋₆ alkenyl, k) -C(O)-C₂₋₆ alkynyl, l) -C(O)-C₃₋₁₄ saturated, unsaturated, or aromatic carbocycle, m) -C(O)-3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur, n) -C(O)O-C₁₋₆ alkyl, o) -C(O)O-C₂₋₆ alkenyl, p) -C(O)O-C₂₋₆ alkynyl, q) -C(O)O-C₃₋₁₄ saturated, unsaturated, or aromatic carbocycle, and r) -C(O)O-3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,

wherein any of d) – r) optionally is substituted with one or more R⁷ groups;

R⁷, at each occurrence, independently is selected from the group consisting of:

a) F, b) Cl, c) Br, d) I, e) =O, f) =S, g) =NR⁸, h) =NOR⁸, i) =N-NR⁸R⁸, j) -CF₃, k) -OR⁸, l) -CN, m) -NO₂, n) -NR⁸R⁸, o) -C(O)R⁸, p) -C(O)OR⁸, q) -OC(O)R⁸, r) -C(O)NR⁸R⁸, s) -NR⁸C(O)R⁸, t) -OC(O)NR⁸R⁸, u) -NR⁸C(O)OR⁸, v) -NR⁸C(O)NR⁸R⁸, w) -C(S)R⁸, x) -C(S)OR⁸, y) -OC(S)R⁸, z) -C(S)NR⁸R⁸, aa) -NR⁸C(S)R⁸, bb) -OC(S)NR⁸R⁸, cc) -NR⁸C(S)OR⁸, dd) -NR⁸C(S)NR⁸R⁸, ee) -C(NR⁸)R⁸, ff) -C(NR⁸)OR⁸, gg) -OC(NR⁸)R⁸, hh) -C(NR⁸)NR⁸R⁸, ii) -NR⁸C(NR⁸)R⁸, jj) -OC(NR⁸)NR⁸R⁸, kk) -NR⁸C(NR⁸)OR⁸, ll) -NR⁸C(NR⁸)NR⁸R⁸, mm) -S(O)_pR⁸, nn) -SO₂NR⁸R⁸, oo) C₁₋₆ alkyl, pp) C₂₋₆ alkenyl, qq) C₂₋₆ alkynyl, rr) C₃₋₁₄ saturated, unsaturated, or aromatic carbocycle, and ss) 3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,

wherein any of oo) – ss) optionally is substituted with one or more moieties selected from the group consisting of R⁸, F, Cl, Br, I, -CF₃, -OR⁸, -SR⁸, -CN, -NO₂, -NR⁸R⁸, -C(O)R⁸, -C(O)OR⁸, -OC(O)R⁸, -C(O)NR⁸R⁸, -NR⁸C(O)R⁸, -OC(O)NR⁸R⁸, -NR⁸C(O)OR⁸, -NR⁸C(O)NR⁸R⁸, -C(S)R⁸, -C(S)OR⁸, -OC(S)R⁸, -C(S)NR⁸R⁸, -NR⁸C(S)R⁸, -OC(S)NR⁸R⁸, -NR⁸C(S)OR⁸, -NR⁸C(S)NR⁸R⁸, -C(NR⁸)R⁸, -C(NR⁸)OR⁸, -OC(NR⁸)R⁸, -C(NR⁸)NR⁸R⁸, -NR⁸C(NR⁸)R⁸, -OC(NR⁸)NR⁸R⁸, -NR⁸C(NR⁸)OR⁸, -NR⁸C(NR⁸)NR⁸R⁸, -SO₂NR⁸R⁸, and -S(O)_pR⁸;

R^8 , at each occurrence, independently is selected from the group consisting of:

- a) H, b) an amine protecting group, c) C_{1-6} alkyl, d) C_{2-6} alkenyl, e) C_{2-6} alkynyl,
- f) C_{3-14} saturated, unsaturated, or aromatic carbocycle, g) 3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur, h) $-C(O)-C_{1-6}$ alkyl,
- i) $-C(O)-C_{2-6}$ alkenyl, j) $-C(O)-C_{2-6}$ alkynyl, k) $-C(O)-C_{3-14}$ saturated, unsaturated, or aromatic carbocycle, l) $-C(O)-3-14$ membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur, m) $-C(O)O-C_{1-6}$ alkyl,
- n) $-C(O)O-C_{2-6}$ alkenyl, o) $-C(O)O-C_{2-6}$ alkynyl, p) $-C(O)O-C_{3-14}$ saturated, unsaturated, or aromatic carbocycle, and q) $-C(O)O-3-14$ membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,

wherein any of c) – q) optionally is substituted with one or more moieties selected from the group consisting of F, Cl, Br, I, $-CF_3$, $-OH$, $-OC_{1-6}$ alkyl, $-SH$, $-SC_{1-6}$ alkyl, $-CN$, $-NO_2$, $-NH_2$, $-NHC_{1-6}$ alkyl, $-N(C_{1-6} \text{ alkyl})_2$, $-C(O)C_{1-6}$ alkyl, $-C(O)OC_{1-6}$ alkyl, $-C(O)NH_2$, $-C(O)NHC_{1-6}$ alkyl, $-C(O)N(C_{1-6} \text{ alkyl})_2$, $-NHC(O)C_{1-6}$ alkyl, $-SO_2NH_2$, $-SO_2NHC_{1-6}$ alkyl, $-SO_2N(C_{1-6} \text{ alkyl})_2$, and $-S(O)_pC_{1-6}$ alkyl;

R^9 is selected from the group consisting of:

- a) C_{1-6} alkyl, b) phenyl, and c) toluyl;

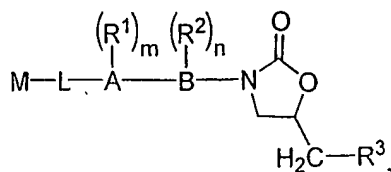
wherein any of a) - c) optionally is substituted with one or more moieties selected from the group consisting of F, Cl, Br, and I;

m is 0, 1, 2, 3, or 4;

n is 0, 1, 2, 3, or 4; and

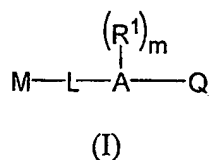
p, at each occurrence, independently is 0, 1, or 2.

2. A process for preparing a compound having the formula:

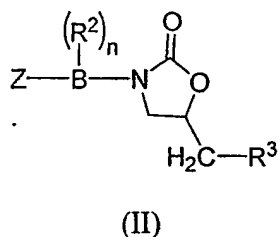


3 the process comprising the steps of:

4 combining a compound of formula (I):



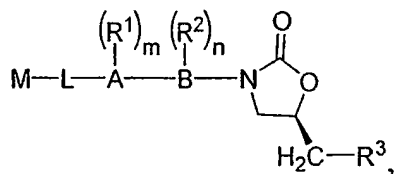
7 with a compound of formula (II):



10 in a solvent in the presence of a base and a palladium catalyst,

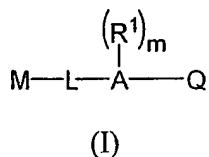
11 wherein A, B, L, M, R¹, R², R³, Q, Z, m, and n are defined as described in claim 1.

1 3. A process for preparing a compound having the formula:

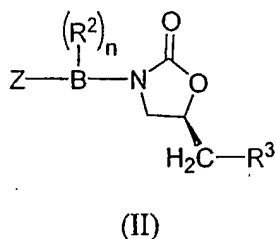


3 the process comprising the steps of:

4 combining a compound of formula (I):



7 with a compound of formula (II):



10 in a solvent in the presence of a base and a palladium catalyst,

11 wherein A, B, L, M, R¹, R², R³, Q, Z, m, and n are defined as described in claim 1.

1 4. The process according to any one of claims 1-3, wherein

2 A is selected from the group consisting of phenyl and pyridyl;

3 B is selected from the group consisting of phenyl and pyridyl;

4 m is 0, 1, or 2; and

5 n is 0, 1, or 2.

1 5. The process according to any one of claims 1-4, wherein R³ is -NHC(O)R⁴.

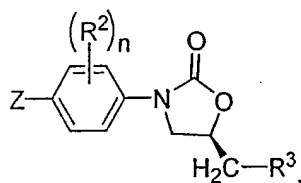
1 6. The process according to claim 5, wherein R⁴ is -CH₃.

1 7. The process according to any one of claims 1-4, wherein R³ is selected from the group
2 consisting of triazole, tetrazole, oxazole, and isoxazole.

1 8. The process according to claim 7, wherein R³ is triazole.

1 9. The process according to claim 8, wherein R³ is [1,2,3]triazol-1-yl.

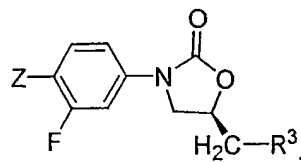
1 10. The process according to any one of claims 1-4, wherein compound (II) has the formula:



2

3 wherein R², R³, Z, and n are defined as described in claim 1.

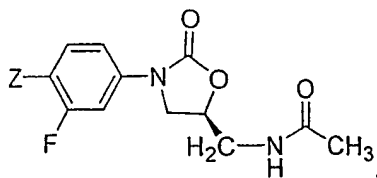
1 11. The process according to claim 10, wherein compound (II) has the formula:



2

3 wherein Z and R³ are defined as described in claim 1.

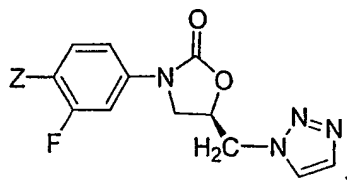
1 12. The process according to claim 11, wherein compound (II) has the formula:



2

3 wherein Z is defined as described in claim 1.

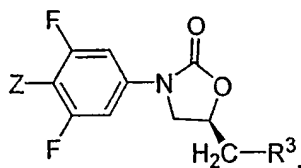
- 1 13. The process according to claim 11, wherein compound (II) has the formula:



2

3 wherein Z is defined as described in claim 1.

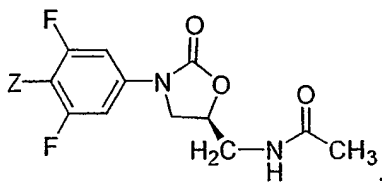
- 1 14. The process according to claim 10, wherein compound (II) has the formula:



2

3 wherein Z and R³ are defined as described in claim 1.

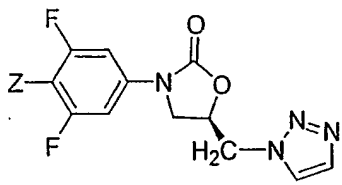
- 1 15. The process according to claim 14, wherein compound (II) has the formula:



2

3 wherein Z is defined as described in claim 1.

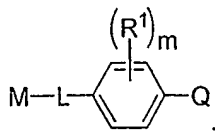
- 1 16. The process according to claim 14, wherein compound (II) has the formula:



2

3 wherein Z is defined as described in claim 1.

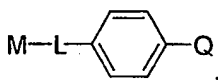
- 1 17. The process according to any one of claims 1-16, wherein compound (I) has the formula:



2

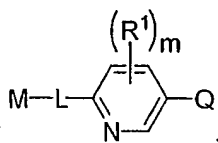
3 wherein L, M, Q, R¹, and m are defined as described in claim 1.

- 1 18. The process according to claim 17, wherein compound (I) has the formula:



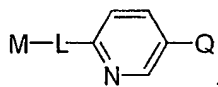
wherein L, M, and Q, are defined as described in claim 1.

19. The process according to any one of claims 1-16, wherein compound (I) has the formula:



wherein L, M, Q, R^1 , and m are defined as described in claim 1.

20. The process according to claim 19, wherein compound (I) has the formula:



wherein L, M, and Q, are defined as described in claim 1.

21. The process according to any one of claims 1-20, wherein M-L is $\text{M}-\text{CH}_2-\text{X}-\text{CH}_2-$.

22. The process according to claim 21, wherein X is $-\text{NR}^4-$.

23. The process according to claim 22, wherein R^4 is H.

24. The process according to claim 22, wherein R^4 is an amine protecting group.

25. The process according to claim 24, wherein the amine protecting group is selected from the group consisting of:

- a) benzyl, b) *t*-butyldimethylsilyl, c) *t*-butyldiphenylsilyl, d) *t*-butyloxycarbonyl,
- e) *p*-methoxybenzyl, f) methoxymethyl, g) tosyl, h) trifluoroacetyl,
- i) trimethylsilyl, j) fluorenyl-methyloxycarbonyl, k) 2-trimethylsilyl-ethyloxycarbonyl, l) 1-methyl-1-(4-biphenyl)ethyloxycarbonyl,
- m) allyloxycarbonyl, and n) benzyloxycarbonyl.

26. The process according to claim 24, further comprising the step of removing the amine protecting group.

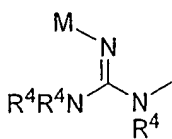
27. The process according to any one of claims 1-20, wherein

M-L is $\text{M}-\text{S}-\text{L}^1-\text{NR}^4-\text{L}^2$;

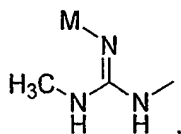
L^1 is C_{1-6} alkyl; and

L^2 is C_{1-6} alkyl.

- 1 28. The process according to claim 27, wherein M-L is:
 2 M-S-CH₂CH₂-NH-CH₂-.
- 1 29. The process according to any one of claims 1-20, wherein L is C₁₋₆ alkyl.
- 1 30. The process according to claim 29, wherein L is -CH₂-.
- 1 31. The process according to any one of claims 21-30, wherein M comprises a 5-6 membered
 2 saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected
 3 from the group consisting of nitrogen, oxygen, and sulfur.
- 1 32. The process according to claim 31, wherein M is selected from the group consisting of
 2 triazole, tetrazole, oxazole, and isoxazole.
- 1 33. The process according to claim 32, wherein M is isoxazol-4-yl.
- 1 34. The process according to claim 32, wherein M is [1,2,3]triazol-1-yl.
- 1 35. The process according to claim 32, wherein M is [1,2,3]triazol-4-yl.
- 1 36. The process according to any one of claims 1-20, wherein M-L is M-X-CH₂-.
- 1 37. The process according to claim 36, wherein X is -NR⁴-.
- 1 38. The process according to claim 37, wherein R⁴ is H.
- 1 39. The process according to claim 37, wherein R⁴ is an amine protecting group.
- 1 40. The process according to claim 39, wherein the amine protecting group is selected from
 2 the group consisting of:
 3 a) benzyl, b) *t*-butyldimethylsilyl, c) *t*-butyldiphenylsilyl, d) *t*-butoxycarbonyl,
 4 e) *p*-methoxybenzyl, f) methoxymethyl, g) tosyl, h) trifluoroacetyl,
 5 i) trimethylsilyl, j) fluorenyl-methoxycarbonyl, k) 2-trimethylsilyl-
 6 ethoxycarbonyl, l) 1-methyl-1-(4-biphenyl)ethoxycarbonyl,
 7 m) allyloxycarbonyl, and n) benzyloxycarbonyl.
- 1 41. The process according to claim 39, further comprising the step of removing the amine
 2 protecting group.
- 1 42. The process according any one of claims 1-20, wherein M-X is:



1 43. The process according to claim 42, wherein M-X is:



1 44. The process according to any one of claims 36-43, wherein M is selected from the group
2 consisting of:

3 a) C₁₋₆ alkyl, b) C₂₋₆ alkenyl, c) C₂₋₆ alkynyl, and d) -CN,

4 wherein

5 i) any of a) - c) is substituted with one or more moieties selected
6 from the group consisting of F, Cl, Br, I, and -CN; and

7 ii) any of a) - c) optionally is further substituted with one or more R⁵
8 groups.

1 45. The process according to claim 44, wherein M is C₁₋₆ alkyl substituted with one or more
2 atoms selected from the group consisting of F, Cl, Br, and I.

1 46. The process according to claim 45, wherein M is -CH₂CH₂CH₂F.

1 47. The process according to claim 44, wherein M is -CH₂CH(OH)CH₂F.

1 48. The process according to claim 44, wherein M is C₁₋₆ alkyl substituted with one or more
2 -CN groups.

1 49. The process according to claim 48, wherein M is -CH₂CH₂CN.

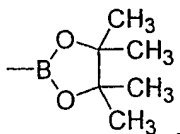
1 50. The process according to claim 44, wherein M is -CH₂C(O)NH₂.

1 51. The process according to any one of claims 1-50, wherein Z is selected from the group
2 consisting of I, trifluoromethanesulfonate, and *p*-toluenesulfonate.

1 52. The process according to claim 51, wherein Z is I.

1 53. The process according to any one of claims 1-52, wherein Q is -B(OH)₂.

1 54. The process according to any one of claims 1-52, wherein Q is:



1 55. The process according to any one of claims 1-52, wherein Q is -BF₂·KF.

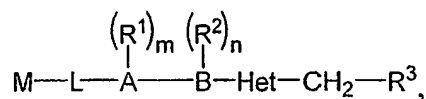
- 1 56. The process according to any one of claims 1-55, wherein the base is selected from the
2 group consisting of alkali metal hydroxides, alkali metal carbonates, alkali metal fluorides,
3 trialkyl amines, and mixtures thereof.
- 1 57. The process according to claim 56, wherein the base is selected from the group consisting
2 of potassium carbonate, sodium carbonate, sodium methoxide, sodium ethoxide, potassium
3 fluoride, triethylamine, diisopropylethylamine, and mixtures thereof.
- 1 58. The process according to claim 57, wherein the base is potassium carbonate.
- 1 59. The process according to claim 56, wherein the ratio of equivalents of base to equivalents
2 of compound (I) is about 3:1.
- 1 60. The process according to any one of claims 1-59, wherein the palladium catalyst is a ligand
2 coordinated palladium (0) catalyst.
- 1 61. The process according to claim 60, wherein the palladium catalyst is a tetrakis
2 (trialkylphosphine) palladium (0) or a tetrakis(triarylphosphine) palladium (0) catalyst.
- 1 62. The process according to claim 61, wherein the palladium catalyst is
2 tetrakis(triphenylphosphine) palladium (0).
- 1 63. The process according to claim 62, wherein the ratio of the equivalents of
2 tetrakis(triphenylphosphine) palladium (0) to the equivalents of compound (I) is about 1:20.
- 1 64. The process according to any one of claims 1-63, wherein the solvent comprises an
2 aqueous solvent.
- 1 65. The process according to any one of claims 1-63, wherein the solvent comprises a
2 mixture of water and an organic solvent, wherein the organic solvent is selected from the group
3 consisting of:
4 a) methanol, b) ethanol, c) propanol, d) isopropanol, e) butanol, f) isobutanol,
5 g) secondary butanol, h) tertiary butanol, i) benzene, j) toluene,
6 k) tetrahydrofuran, l) dimethylformamide, m) 1,2-diethyl ether,
7 n) dimethoxyethane, o) diisopropyl ether, p) methyltertiarybutyl ether,
8 q) methoxymethyl ether, r) 2-methoxyethyl ether, s) 1,4-dioxane, and
9 t) 1,3-dioxolane, and mixtures thereof.
- 1 66. The process according to claim 65 wherein the solvent comprises a mixture of water,
2 toluene, and ethanol.

67. The process according to claim 66 wherein the solvent comprises a mixture of water, toluene, and ethanol in a ratio of about 1:3:1 by volume.

68. The process according to any one of claims 1-67, wherein the process is carried out at a temperature between about 20 °C and about 100 °C.

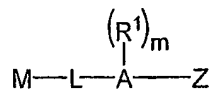
69. The process according to any one of claims 1-67, wherein the process is carried out at the reflux temperature of the solvent.

70. A process for preparing a compound having the formula:



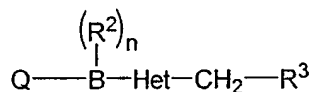
the process comprising the steps of:

combining a compound of formula (I):



(I)

with a compound of formula (II):



(II)

in a solvent in the presence of a base and a palladium catalyst, wherein

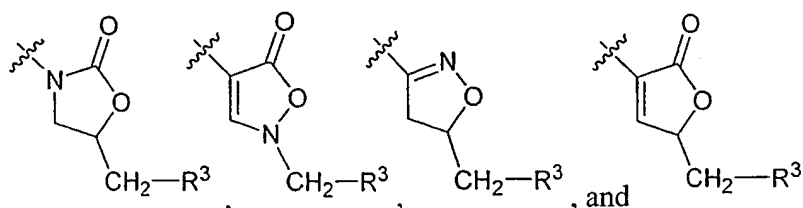
A is selected from the group consisting of:

phenyl, pyridyl, pyrazinyl, pyrimidinyl, and pyridazinyl;

B is selected from the group consisting of:

phenyl, pyridyl, pyrazinyl, pyrimidinyl, and pyridazinyl;

Het-CH₂-R³ is selected from the group consisting of:

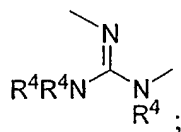


M-L is selected from the group consisting of:

- a) M-X, b) M-L¹, c) M-L¹-X, d) M-X-L², e) M-L¹-X-L², f) M-X-L¹-X-L²,
 g) M-L¹-X-L²-X, h) M-X-X-, i) M-L¹-X-X-, j) M-X-X-L², and
 k) M-L¹-X-X-L², wherein

X, at each occurrence, independently is selected from the group consisting of:

- a) -O-, b) -NR⁴-, c) -N(O)-, d) -N(OR⁴)-, e) -S(O)_p-, f) -SO₂NR⁴-,
 g) -NR⁴SO₂-, h) -NR⁴-N=, i) =N-NR⁴-, j) -O-N=, k) =N-O-,
 l) -N=, m) =N-, n) -NR⁴-NR⁴-, o) -NR⁴C(O)O-, p) -OC(O)NR⁴-,
 q) -NR⁴C(O)NR⁴-, r) -NR⁴C(NR⁴)NR⁴-, and
 s)



L¹ is selected from the group consisting of:

- a) C₁₋₆ alkyl, b) C₂₋₆ alkenyl, and c) C₂₋₆ alkynyl,
 wherein any of a) – c) optionally is substituted with one or
 more R⁵ groups; and

L² is selected from the group consisting of:

- a) C₁₋₆ alkyl, b) C₂₋₆ alkenyl, and c) C₂₋₆ alkynyl,
 wherein any of a) – c) optionally is substituted with one or
 more R⁵ groups;

alternatively, L in M-L is a bond;

M is selected from the group consisting of:

- a) C₃₋₁₄ saturated, unsaturated, or aromatic carbocycle, b) 3-14 membered
 saturated, unsaturated, or aromatic heterocycle containing one or more
 heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,
 c) C₁₋₆ alkyl, d) C₂₋₆ alkenyl, e) C₂₋₆ alkynyl, and f) -CN,

wherein any of a) – e) optionally is substituted with one or more R⁵
 groups;

Q is a borane having the formula -BY₂, wherein

Y, at each occurrence, independently is selected from the group consisting of:

- a) -OH, b) -OC₁₋₆ alkyl, c) -OC₂₋₆ alkenyl, d) -OC₂₋₆ alkynyl,
- e) -OC₁₋₁₄ saturated, unsaturated, or aromatic carbocycle, f) C₁₋₆ alkyl,
- g) C₂₋₆ alkenyl, h) C₂₋₆ alkynyl, and i) C₁₋₁₄ saturated, unsaturated, or aromatic carbocycle,

wherein any of b) - i) optionally is substituted with one or more halogens;

alternatively, two Y groups taken together comprise a chemical moiety selected from the group consisting of:

- a) -OC(R⁴)(R⁴)C(R⁴)(R⁴)O-, and b) -OC(R⁴)(R⁴)CH₂C(R⁴)(R⁴)O-;

alternatively, Q is a BF₃ alkali metal salt or 9-borabicyclo[3.3.1]nonane;

Z is selected from the group consisting of:

- a) I, b) Br, c) Cl, and d) R⁹OSO₃-;

R¹, at each occurrence, independently is selected from the group consisting of:

- a) F, b) Cl, c) Br, d) I, e) -CF₃, f) -OR⁴, g) -CN, h) -NO₂, i) -NR⁴R⁴, j) -C(O)R⁴,
- k) -C(O)OR⁴, l) -OC(O)R⁴, m) -C(O)NR⁴R⁴, n) -NR⁴C(O)R⁴, o) -OC(O)NR⁴R⁴,
- p) -NR⁴C(O)OR⁴, q) -NR⁴C(O)NR⁴R⁴, r) -C(S)R⁴, s) -C(S)OR⁴, t) -OC(S)R⁴,
- u) -C(S)NR⁴R⁴, v) -NR⁴C(S)R⁴, w) -OC(S)NR⁴R⁴, x) -NR⁴C(S)OR⁴,
- y) -NR⁴C(S)NR⁴R⁴, z) -C(NR⁴)R⁴, aa) -C(NR⁴)OR⁴, bb) -OC(NR⁴)R⁴,
- cc) -C(NR⁴)NR⁴R⁴, dd) -NR⁴C(NR⁴)R⁴, ee) -OC(NR⁴)NR⁴R⁴,
- ff) -NR⁴C(NR⁴)OR⁴, gg) -NR⁴C(NR⁴)NR⁴R⁴, hh) -S(O)_pR⁴, ii) -SO₂NR⁴R⁴, and
- jj) R⁴;

R², at each occurrence, independently is selected from the group consisting of:

- a) F, b) Cl, c) Br, d) I, e) -CF₃, f) -OR⁴, g) -CN, h) -NO₂, i) -NR⁴R⁴, j) -C(O)R⁴,
- k) -C(O)OR⁴, l) -OC(O)R⁴, m) -C(O)NR⁴R⁴, n) -NR⁴C(O)R⁴, o) -OC(O)NR⁴R⁴,
- p) -NR⁴C(O)OR⁴, q) -NR⁴C(O)NR⁴R⁴, r) -C(S)R⁴, s) -C(S)OR⁴, t) -OC(S)R⁴,
- u) -C(S)NR⁴R⁴, v) -NR⁴C(S)R⁴, w) -OC(S)NR⁴R⁴, x) -NR⁴C(S)OR⁴,
- y) -NR⁴C(S)NR⁴R⁴, z) -C(NR⁴)R⁴, aa) -C(NR⁴)OR⁴, bb) -OC(NR⁴)R⁴,
- cc) -C(NR⁴)NR⁴R⁴, dd) -NR⁴C(NR⁴)R⁴, ee) -OC(NR⁴)NR⁴R⁴,
- ff) -NR⁴C(NR⁴)OR⁴, gg) -NR⁴C(NR⁴)NR⁴R⁴, hh) -S(O)_pR⁴, ii) -SO₂NR⁴R⁴, and
- jj) R⁴;

R^3 is selected from the group consisting of:

- a) $-OR^4$, b) $-NR^4R^4$, c) $-C(O)R^4$, d) $-C(O)OR^4$, e) $-OC(O)R^4$, f) $-C(O)NR^4R^4$,
- g) $-NR^4C(O)R^4$, h) $-OC(O)NR^4R^4$, i) $-NR^4C(O)OR^4$, j) $-NR^4C(O)NR^4R^4$,
- k) $-C(S)R^4$, l) $-C(S)OR^4$, m) $-OC(S)R^4$, n) $-C(S)NR^4R^4$, o) $-NR^4C(S)R^4$,
- p) $-OC(S)NR^4R^4$, q) $-NR^4C(S)OR^4$, r) $-NR^4C(S)NR^4R^4$, s) $-C(NR^4)R^4$,
- t) $-C(NR^4)OR^4$, u) $-OC(NR^4)R^4$, v) $-C(NR^4)NR^4R^4$, w) $-NR^4C(NR^4)R^4$,
- x) $-OC(NR^4)NR^4R^4$, y) $-NR^4C(NR^4)OR^4$, z) $-NR^4C(NR^4)NR^4R^4$, aa) $-S(O)_pR^4$,
- bb) $-SO_2NR^4R^4$, and cc) R^4 ;

R^4 , at each occurrence, independently is selected from the group consisting of:

- a) H, b) $-OR^6$, c) an amine protecting group, d) C_{1-6} alkyl, e) C_{2-6} alkenyl,
- f) C_{2-6} alkynyl, g) C_{3-14} saturated, unsaturated, or aromatic carbocycle,
- h) 3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur, i) $-C(O)-C_{1-6}$ alkyl, j) $-C(O)-C_{2-6}$ alkenyl, k) $-C(O)-C_{2-6}$ alkynyl,
- l) $-C(O)-C_{3-14}$ saturated, unsaturated, or aromatic carbocycle,
- m) $-C(O)-3-14$ membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur, n) $-C(O)O-C_{1-6}$ alkyl, o) $-C(O)O-C_{2-6}$ alkenyl,
- p) $-C(O)O-C_{2-6}$ alkynyl, q) $-C(O)O-C_{3-14}$ saturated, unsaturated, or aromatic carbocycle, and r) $-C(O)O-3-14$ membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,

wherein any of d) – r) optionally is substituted with one or more R^5 groups;

R^5 , at each occurrence, is independently selected from the group consisting of:

- a) F, b) Cl, c) Br, d) I, e) =O, f) =S, g) = NR^6 , h) = NOR^6 , i) = $N-NR^6R^6$, j) $-CF_3$,
- k) $-OR^6$, l) $-CN$, m) $-NO_2$, n) $-NR^6R^6$, o) $-C(O)R^6$, p) $-C(O)OR^6$, q) $-OC(O)R^6$,
- r) $-C(O)NR^6R^6$, s) $-NR^6C(O)R^6$, t) $-OC(O)NR^6R^6$, u) $-NR^6C(O)OR^6$,
- v) $-NR^6C(O)NR^6R^6$, w) $-C(S)R^6$, x) $-C(S)OR^6$, y) $-OC(S)R^6$, z) $-C(S)NR^6R^6$,
- aa) $-NR^6C(S)R^6$, bb) $-OC(S)NR^6R^6$, cc) $-NR^6C(S)OR^6$, dd) $-NR^6C(S)NR^6R^6$,
- ee) $-C(NR^6)R^6$, ff) $-C(NR^6)OR^6$, gg) $-OC(NR^6)R^6$, hh) $-C(NR^6)NR^6R^6$,

ii) $-\text{NR}^6\text{C}(\text{NR}^6)\text{R}^6$, jj) $-\text{OC}(\text{NR}^6)\text{NR}^6\text{R}^6$, kk) $-\text{NR}^6\text{C}(\text{NR}^6)\text{OR}^6$,
 ll) $-\text{NR}^6\text{C}(\text{NR}^6)\text{NR}^6\text{R}^6$, mm) $-\text{S}(\text{O})_p\text{R}^6$, nn) $-\text{SO}_2\text{NR}^6\text{R}^6$, and oo) R^6 ;

R^6 , at each occurrence, independently is selected from the group consisting of:

a) H, b) $-\text{OR}^8$, c) an amine protecting group, d) C_{1-6} alkyl, e) C_{2-6} alkenyl,
 f) C_{2-6} alkynyl, g) C_{3-14} saturated, unsaturated, or aromatic carbocycle,
 h) 3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one
 or more heteroatoms selected from the group consisting of nitrogen, oxygen, and
 sulfur, i) $-\text{C}(\text{O})-\text{C}_{1-6}$ alkyl, j) $-\text{C}(\text{O})-\text{C}_{2-6}$ alkenyl, k) $-\text{C}(\text{O})-\text{C}_{2-6}$ alkynyl,
 l) $-\text{C}(\text{O})-\text{C}_{3-14}$ saturated, unsaturated, or aromatic carbocycle,
 m) $-\text{C}(\text{O})-3-14$ membered saturated, unsaturated, or aromatic heterocycle
 comprising one or more heteroatoms selected from the group consisting of
 nitrogen, oxygen, and sulfur, n) $-\text{C}(\text{O})\text{O}-\text{C}_{1-6}$ alkyl, o) $-\text{C}(\text{O})\text{O}-\text{C}_{2-6}$ alkenyl,
 p) $-\text{C}(\text{O})\text{O}-\text{C}_{2-6}$ alkynyl, q) $-\text{C}(\text{O})\text{O}-\text{C}_{3-14}$ saturated, unsaturated, or aromatic
 carbocycle, and r) $-\text{C}(\text{O})\text{O}-3-14$ membered saturated, unsaturated, or aromatic
 heterocycle comprising one or more heteroatoms selected from the group
 consisting of nitrogen, oxygen, and sulfur,

wherein any of d) – r) optionally is substituted with one or more R^7
 groups;

R^7 , at each occurrence, independently is selected from the group consisting of:

a) F, b) Cl, c) Br, d) I, e) $=\text{O}$, f) $=\text{S}$, g) $=\text{NR}^8$, h) $=\text{NOR}^8$, i) $=\text{N}-\text{NR}^8\text{R}^8$, j) $-\text{CF}_3$,
 k) $-\text{OR}^8$, l) $-\text{CN}$, m) $-\text{NO}_2$, n) $-\text{NR}^8\text{R}^8$, o) $-\text{C}(\text{O})\text{R}^8$, p) $-\text{C}(\text{O})\text{OR}^8$, q) $-\text{OC}(\text{O})\text{R}^8$,
 r) $-\text{C}(\text{O})\text{NR}^8\text{R}^8$, s) $-\text{NR}^8\text{C}(\text{O})\text{R}^8$, t) $-\text{OC}(\text{O})\text{NR}^8\text{R}^8$, u) $-\text{NR}^8\text{C}(\text{O})\text{OR}^8$,
 v) $-\text{NR}^8\text{C}(\text{O})\text{NR}^8\text{R}^8$, w) $-\text{C}(\text{S})\text{R}^8$, x) $-\text{C}(\text{S})\text{OR}^8$, y) $-\text{OC}(\text{S})\text{R}^8$, z) $-\text{C}(\text{S})\text{NR}^8\text{R}^8$,
 aa) $-\text{NR}^8\text{C}(\text{S})\text{R}^8$, bb) $-\text{OC}(\text{S})\text{NR}^8\text{R}^8$, cc) $-\text{NR}^8\text{C}(\text{S})\text{OR}^8$, dd) $-\text{NR}^8\text{C}(\text{S})\text{NR}^8\text{R}^8$,
 ee) $-\text{C}(\text{NR}^8)\text{R}^8$, ff) $-\text{C}(\text{NR}^8)\text{OR}^8$, gg) $-\text{OC}(\text{NR}^8)\text{R}^8$, hh) $-\text{C}(\text{NR}^8)\text{NR}^8\text{R}^8$,
 ii) $-\text{NR}^8\text{C}(\text{NR}^8)\text{R}^8$, jj) $-\text{OC}(\text{NR}^8)\text{NR}^8\text{R}^8$, kk) $-\text{NR}^8\text{C}(\text{NR}^8)\text{OR}^8$,
 ll) $-\text{NR}^8\text{C}(\text{NR}^8)\text{NR}^8\text{R}^8$, mm) $-\text{S}(\text{O})_p\text{R}^8$, nn) $-\text{SO}_2\text{NR}^8\text{R}^8$, oo) C_{1-6} alkyl,
 pp) C_{2-6} alkenyl, qq) C_{2-6} alkynyl, rr) C_{3-14} saturated, unsaturated, or aromatic
 carbocycle, and ss) 3-14 membered saturated, unsaturated, or aromatic heterocycle
 comprising one or more heteroatoms selected from the group consisting of
 nitrogen, oxygen, and sulfur,

wherein any of oo) – ss) optionally is substituted with one or more moieties selected from the group consisting of R^8 , F, Cl, Br, I, $-CF_3$, $-OR^8$, $-SR^8$, $-CN$, $-NO_2$, $-NR^8R^8$, $-C(O)R^8$, $-C(O)OR^8$, $-OC(O)R^8$, $-C(O)NR^8R^8$, $-NR^8C(O)R^8$, $-OC(O)NR^8R^8$, $-NR^8C(O)OR^8$, $-NR^8C(O)NR^8R^8$, $-C(S)R^8$, $-C(S)OR^8$, $-OC(S)R^8$, $-C(S)NR^8R^8$, $-NR^8C(S)R^8$, $-OC(S)NR^8R^8$, $-NR^8C(S)OR^8$, $-NR^8C(S)NR^8R^8$, $-C(NR^8)R^8$, $-C(NR^8)OR^8$, $-OC(NR^8)R^8$, $-C(NR^8)NR^8R^8$, $-NR^8C(NR^8)R^8$, $-OC(NR^8)NR^8R^8$, $-NR^8C(NR^8)OR^8$, $-NR^8C(NR^8)NR^8R^8$, $-SO_2NR^8R^8$, and $-S(O)_pR^8$;

R^8 , at each occurrence, independently is selected from the group consisting of:

- a) H, b) an amine protecting group, c) C_{1-6} alkyl, d) C_{2-6} alkenyl, e) C_{2-6} alkynyl,
- f) C_{3-14} saturated, unsaturated, or aromatic carbocycle, g) 3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,
- h) $-C(O)-C_{1-6}$ alkyl, i) $-C(O)-C_{2-6}$ alkenyl, j) $-C(O)-C_{2-6}$ alkynyl,
- k) $-C(O)-C_{3-14}$ saturated, unsaturated, or aromatic carbocycle,
- l) $-C(O)-3-14$ membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur, m) $-C(O)O-C_{1-6}$ alkyl, n) $-C(O)O-C_{2-6}$ alkenyl,
- o) $-C(O)O-C_{2-6}$ alkynyl, p) $-C(O)O-C_{3-14}$ saturated, unsaturated, or aromatic carbocycle, and q) $-C(O)O-3-14$ membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,

wherein any of c) – q) optionally is substituted with one or more moieties selected from the group consisting of F, Cl, Br, I, $-CF_3$, $-OH$, $-OC_{1-6}$ alkyl, $-SH$, $-SC_{1-6}$ alkyl, $-CN$, $-NO_2$, $-NH_2$, $-NHC_{1-6}$ alkyl, $-N(C_{1-6} \text{ alkyl})_2$, $-C(O)C_{1-6}$ alkyl, $-C(O)OC_{1-6}$ alkyl, $-C(O)NH_2$, $-C(O)NHC_{1-6}$ alkyl, $-C(O)N(C_{1-6} \text{ alkyl})_2$, $-NHC(O)C_{1-6}$ alkyl, $-SO_2NH_2$, $-SO_2NHC_{1-6}$ alkyl, $-SO_2N(C_{1-6} \text{ alkyl})_2$, and $-S(O)_pC_{1-6}$ alkyl;

R^9 is selected from the group consisting of:

- a) C_{1-6} alkyl, b) phenyl, and c) toluyl;

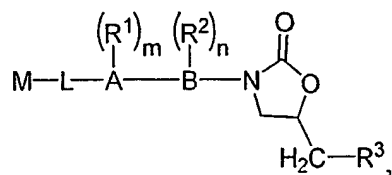
wherein any of a) - c) optionally is substituted with one or more moieties selected from the group consisting of F, Cl, Br, and I;

171 m is 0, 1, 2, 3, or 4;

172 n is 0, 1, 2, 3, or 4; and

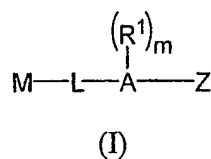
173 p, at each occurrence, independently is 0, 1, or 2.

1 71. A process for preparing a compound having the formula:

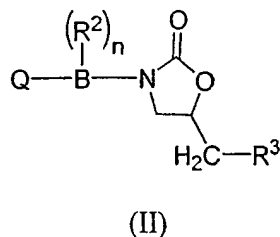


3 the process comprising the steps of:

4 combining a compound of formula (I):



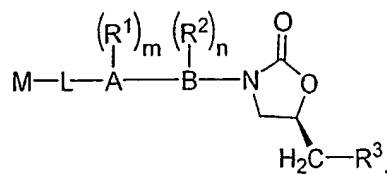
7 with a compound of formula (II):



10 in a solvent in the presence of a base and a palladium catalyst,

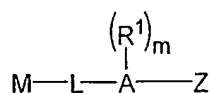
11 wherein A, B, L, M, R¹, R², R³, Q, Z, m, and n are defined as described in claim 70.

1 72. A process for preparing a compound having the formula:



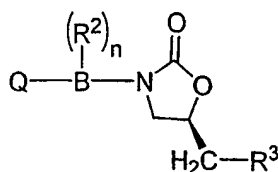
3 the process comprising the steps of:

4 combining a compound of formula (I):



(I)

with a compound of formula (II):



(II)

in a solvent in the presence of a base and a palladium catalyst,

wherein A, B, L, M, R¹, R², R³, Q, Z, m, and n are defined as described in claim 70.

73. The process according to any one of claims 70-72, wherein

A is selected from the group consisting of phenyl and pyridyl;

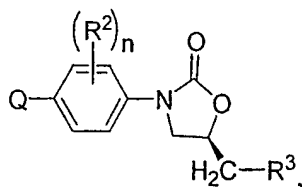
B is selected from the group consisting of phenyl and pyridyl;

m is 0, 1, or 2; and

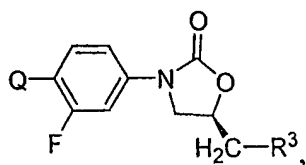
n is 0, 1, or 2.

74. The process according to any one of claims 70-73, wherein R³ is -NHC(O)R⁴.75. The process according to claim 74, wherein R⁴ is -CH₃.76. The process according to any one of claims 70-73, wherein R³ is selected from the group consisting of triazole, tetrazole, oxazole, and isoxazole.77. The process according to claim 76, wherein R³ is triazole.78. The process according to claim 77, wherein R³ is [1,2,3]triazol-1-yl.

79. The process according to any one of claims 70-73, wherein compound (II) has the formula:

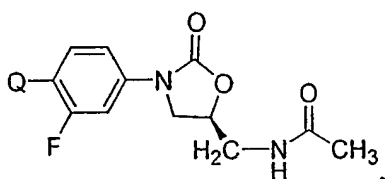
wherein R², R³, Q, and n are defined as described in claim 70.

80. The process according to claim 79, wherein compound (II) has the formula:



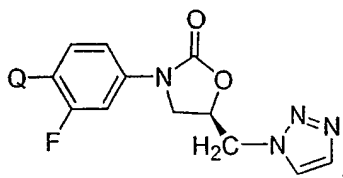
wherein Q and R³ are defined as described in claim 70.

81. The process according to claim 80, wherein compound (II) has the formula:



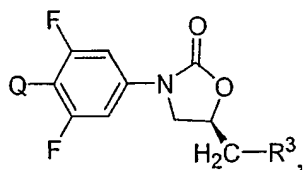
wherein Q is defined as described in claim 70.

82. The process according to claim 80, wherein compound (II) has the formula:



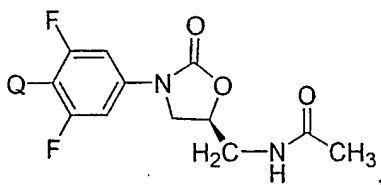
wherein Q is defined as described in claim 70.

83. The process according to claim 79, wherein compound (II) has the formula:



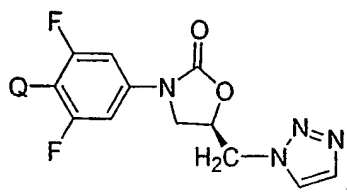
wherein Q and R³ are defined as described in claim 70.

84. The process according to claim 83, wherein compound (II) has the formula:



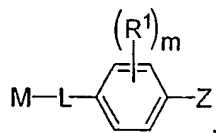
wherein Q is defined as described in claim 70.

85. The process according to claim 83, wherein compound (II) has the formula:



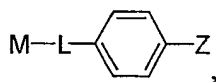
wherein Q is defined as described in claim 70.

86. The process according to any one of claims 70-85, wherein compound (I) has the formula:



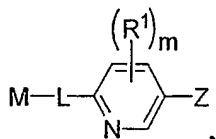
wherein L, M, R¹, Z, and m are defined as described in claim 70.

87. The process according to claim 86, wherein compound (I) has the formula:



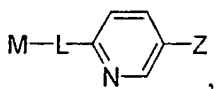
wherein L, M, and Z are defined as described in claim 70.

88. The process according to any one of claims 70-85, wherein compound (I) has the formula:



wherein L, M, R¹, Z, and m are defined as described in claim 70.

89. The process according to claim 88, wherein compound (I) has the formula:



wherein L, M, and Z are defined as described in claim 70.

90. The process according to any one of claims 70-89, wherein M-L is M-CH₂-X-CH₂-.

91. The process according to claim 90, wherein X is -NR⁴-.

92. The process according to claim 91, wherein R⁴ is H.

93. The process according to claim 91, wherein R⁴ is an amine protecting group.

94. The process according to claim 93, wherein the amine protecting group is selected from the group consisting of:

a) benzyl, b) *t*-butyldimethylsilyl, c) *t*-butyldiphenylsilyl, d) *t*-butyloxycarbonyl, e) *p*-methoxybenzyl, f) methoxymethyl, g) tosyl, h) trifluoroacetyl, i) trimethylsilyl, j) fluorenyl-methyloxycarbonyl, k) 2-trimethylsilyl-ethyloxycarbonyl, l) 1-methyl-1-(4-biphenyl)ethyloxycarbonyl, m) allyloxycarbonyl, and n) benzyloxycarbonyl.

95. The process according to claim 93, further comprising the step of removing the amine protecting group.

96. The process according to any one of claims 70-89, wherein

M-L is M-S-L¹-NR⁴-L²;

L¹ is C₁₋₆ alkyl; and

L² is C₁₋₆ alkyl.

97. The process according to claim 96, wherein M-L is:

M-S-CH₂CH₂-NH-CH₂-.

98. The process according to any one of claims 70-89, wherein L is C₁₋₆ alkyl.

99. The process according to claim 98, wherein L is -CH₂-.

100. The process according to any one of claims 90-99, wherein M comprises a 5-6 membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur.

101. The process according to claim 100, wherein M is selected from the group consisting of triazole, tetrazole, oxazole, and isoxazole.

102. The process according to claim 101, wherein M is isoxazol-4-yl.

103. The process according to claim 101, wherein M is [1,2,3]triazol-1-yl.

104. The process according to claim 101, wherein M is [1,2,3]triazol-4-yl.

105. The process according to any one of claims 70-89, wherein M-L is M-X-CH₂-.

106. The process according to claim 105, wherein X is -NR⁴-.

107. The process according to claim 106, wherein R⁴ is H.

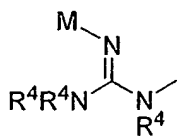
108. The process according to claim 106, wherein R⁴ is an amine protecting group.

109. The process according to claim 108, wherein the amine protecting group is selected from the group consisting of:

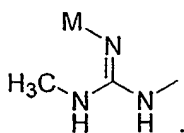
- a) benzyl, b) *t*-butyldimethylsilyl, c) *t*-butyldiphenylsilyl, d) *t*-butyloxycarbonyl, e) *p*-methoxybenzyl, f) methoxymethyl, g) tosyl, h) trifluoroacetyl, i) trimethylsilyl, j) fluorenyl-methyloxycarbonyl, k) 2-trimethylsilyl-ethyloxycarbonyl, l) 1-methyl-1-(4-biphenyl)ethyloxycarbonyl, m) allyloxycarbonyl, and n) benzyloxycarbonyl.

110. The process according to claim 108, further comprising the step of removing the amine protecting group.

111. The process according any one of claims 70-89, wherein M-X is:



112. The process according to claim 111, wherein M-X is:



113. The process according to any one of claims 105-112, wherein M is selected from the group consisting of:

- a) C₁₋₆ alkyl, b) C₂₋₆ alkenyl, c) C₂₋₆ alkynyl, and d) -CN,

wherein

- i) any of a) - c) is substituted with one or more moieties selected from the group consisting of F, Cl, Br, I, and -CN; and
ii) any of a) - c) optionally is further substituted with one or more R⁵ groups.

114. The process according to claim 113, wherein M is C₁₋₆ alkyl substituted with one or more atoms selected from the group consisting of F, Cl, Br, and I.

115. The process according to claim 114, wherein M is -CH₂CH₂CH₂F.

116. The process according to claim 113, wherein M is -CH₂CH(OH)CH₂F.

1 117. The process according to claim 113, wherein M is C₁₋₆ alkyl substituted with one or more
2 -CN groups.

1 118. The process according to claim 117, wherein M is -CH₂CH₂CN.

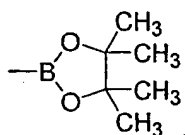
1 119. The process according to claim 113, wherein M is -CH₂C(O)NH₂.

1 120. The process according to any one of claims 70-119, wherein Z is selected from the group
2 consisting of I, trifluoromethanesulfonate, and *p*-toluenesulfonate.

1 121. The process according to claim 120, wherein Z is I.

1 122. The process according to any one of claims 70-121, wherein Q is -B(OH)₂.

1 123. The process according to any one of claims 70-121, wherein Q is:



1 124. The process according to any one of claims 70-121, wherein Q is -BF₂·KF.

1 125. The process according to any one of claims 70-124, wherein the base is selected from the
2 group consisting of alkali metal hydroxides, alkali metal carbonates, alkali metal fluorides,
3 trialkyl amines, and mixtures thereof.

1 126. The process according to claim 125, wherein the base is selected from the group
2 consisting of potassium carbonate, sodium carbonate, sodium methoxide, sodium ethoxide,
3 potassium fluoride, triethylamine, diisopropylethylamine, and mixtures thereof.

1 127. The process according to claim 126, wherein the base is potassium carbonate.

1 128. The process according to claim 125, wherein the ratio of equivalents of base to
2 equivalents of compound (I) is about 3:1.

1 129. The process according to any one of claims 70-128, wherein the palladium catalyst is a
2 ligand coordinated palladium (0) catalyst.

1 130. The process according to claim 129, wherein the palladium catalyst is a tetrakis
2 (trialkylphosphine) palladium (0) or a tetrakis(triarylphosphine) palladium (0) catalyst.

1 131. The process according to claim 130, wherein the palladium catalyst is
2 tetrakis(triphenylphosphine) palladium (0).

1 132. The process according to claim 131, wherein the ratio of the equivalents of
2 tetrakis(triphenylphosphine) palladium (0) to the equivalents of compound (I) is about 1:20.

1 133. The process according to any one of claims 70-132, wherein the solvent comprises an
2 aqueous solvent.

1 134. The process according to any one of claims 70-132, wherein the solvent comprises a
2 mixture of water and an organic solvent, wherein the organic solvent is selected from the group
3 consisting of:

4 a) methanol, b) ethanol, c) propanol, d) isopropanol, e) butanol, f) isobutanol,
5 g) secondary butanol, h) tertiary butanol, i) benzene, j) toluene,
6 k) tetrahydrofuran, l) dimethylformamide, m) 1,2-diethyl ether,
7 n) dimethoxyethane, o) diisopropyl ether, p) methyltertiarybutyl ether,
8 q) methoxymethyl ether, r) 2-methoxyethyl ether, s) 1,4-dioxane, and
9 t) 1,3-dioxolane, and mixtures thereof.

1 135. The process according to claim 134 wherein the solvent comprises a mixture of water,
2 toluene, and ethanol.

1 136. The process according to claim 135 wherein the solvent comprises a mixture of water,
2 toluene, and ethanol in a ratio of about 1:3:1 by volume.

1 137. The process according to any one of claims 70-136, wherein the process is carried out at
2 a temperature between about 20 °C and about 100 °C.

1 138. The process according to any one of claims 70-136, wherein the process is carried out at
2 the reflux temperature of the solvent.